

AMENDMENTS TO THE SPECIFICATION

Replace paragraph [0017] of the application as filed with:

[0017] Preferably the preparation of kifunensine from the compound of formula (I) includes the steps of:

- (e) oxamoylation of the compound of formula (I) to give a 2-oxamoylamino-D-mannitol;
- (f) removal of the R3 protecting group (if R3 is not H);
- (g) oxidation of the C-6 carbon atom to give a ~~2-oxamoylamino-D-mannose~~ 5-oxamoylamino-D-mannose;
- (h) double cyclisation of the ~~2-oxamoylamino-D-mannose~~ 5-oxamoylamino-D-mannose to give kifunensine with four protected hydroxyl groups; and
- (i) removal of the four hydroxyl protecting groups to give kifunensine.

Replace paragraph [0024] of the application as filed with:

[0024] In a preferred embodiment of the invention, the preparation of kifunensine includes the steps:

- (a) silylation of N-acetyl-D-mannosamine using tert-butyldiphenylsilyl chloride as silylating agent, to give 6-O-tert-butyldiphenylsilyl-2-deoxy-2-acetylamino-D-mannose;
- (b) reduction of 6-O-tert-butyldiphenylsilyl-2-deoxy-2-acetylamino-D-mannose using sodium borohydride as reducing agent, to give 6-O-tert-butyldiphenylsilyl-2-deoxy-2-acetylamino-D-mannitol;
- (c) protection of the four hydroxy groups of 6-O-tert-butyldiphenylsilyl-2-deoxy-2-acetylamino-D-mannitol using 2,2-dimethoxypropane in the presence of acetone, to give 6-O-tert-butyldiphenylsilyl-2-deoxy-1,3:4,5-di-O-isopropylidene-2-acetylamino-D-mannitol;
- (d) double deprotection of the 6-O- and N-protecting groups of 6-O-tert-butyldiphenylsilyl-2-deoxy-1,3:4,5-di-O-isopropylidene-2-acetylamino-D-mannitol using aqueous barium hydroxide, to give 2-amino-2-deoxy-1,3:4,5-di-O-isopropylidene-D-mannitol;

- (e) oxamoylation of 2-amino-2-deoxy-1,3:4,5-di-O-isopropylidene-D-mannitol using oxamic acid and 1,1'-carbonyldiimidazole, to give 2-deoxy-1,3:4,5-di-O-isopropylidene-2-oxamoylamino-D-mannitol;
- (f) oxidation of 2-deoxy-1,3:4,5-di-O-isopropylidene-2-oxamoylamino-D-mannitol using pyridinium dichromate in the presence of activated molecular sieves and pyridinium trifluoroacetate, to give ~~5-deoxy-2,3:4,6-di-O-isopropylidene-2-oxamoylamino-D-mannose~~ 5-deoxy-2,3:4,6-di-O-isopropylidene-5-oxamoylamino-D-mannose;
- (g) double cyclisation of ~~5-deoxy-2,3:4,6-di-O-isopropylidene-2-oxamoylamino-D-mannose~~ 5-deoxy-2,3:4,6-di-O-isopropylidene-5-oxamoylamino-D-mannose using a methanolic ammonia solution, to give 2,3:4,6-di-O-isopropylidene-kifunensine; and
- (h) deprotection of ~~5,6:7,8-di-O-isopropylidene-kifunensine~~ 2,3:4,6-di-O-isopropylidene-kifunensine, using methanolic hydrochloric acid, to give kifunensine.

Replace paragraph [0064] of the application as filed with:

[0064] di-n-Butyl oxalate (261 mg, 1.29 mmol) was dissolved in 3 mL of n-butanol, under an inert atmosphere, at 25 °C. The amino alcohol (5) (225 mg, 0.86 mmol) was added as a single portion and the resulting solution was heated to 85 °C and agitated for 16 hours. The solvent was removed under reduced pressure to provide a colourless oil. The oil was then partitioned between ethyl acetate (15 mL) and water (5 mL) and the organic layer further extracted with water (2 x 5 mL). The organic layer was dried over magnesium sulfate, filtered and the solvent removed under reduced pressure affording a colourless residue (261 mg). The residue was dissolved in 10 mL of *approx.* 7 N methanolic ammonia and the resulting suspension (the formation of a white precipitate is immediately observed) was sealed and stirred for 16 h at 20 °C. The suspension was filtered through and the cake washed with methanol (2 x 10 mL). The solvents were concentrated under reduced pressure and the resulting residue was co-distilled twice with dichloromethane (2 x 10 mL) to afford (6) as a white solid (140 mg, 49%). This material has been previously reported (H. Kayakiri, C. Kasahara, K. Nakamura, T. Oku, and M. Hashimoto, *Chem. Pharm. Bull.*, 39, 1392, 1991) and data obtained corresponded to that observed in the literature.

EXAMPLE 9: PREPARATION OF 5-DEOXY-2,3:4,6-DI-O-ISOPROPYLIDENE-5-
OXAMOYLAMINO-D-MANNOSE

